



STIC Search Report

Biotech-Chem Library

STIC Database Tracking Number: 123570

TO: David Lukton
Location: rem/3b75/3c70
Art Unit: 1653
June 4, 2004

Case Serial Number: 09/926385

From: P. Sheppard
Location: Remsen Building
Phone: (571) 272-2529

sheppard@uspto.gov

Search Notes

SEARCH REQUEST FORM
(STIC)

Access DB#

123570

MEJ

Requestor's Name: David Lukton

Examiner number: 71263

Date:

6-2-04

Art Unit: 1653

Phone number: 571-272-0952

Serial Number:

09-926385

Mail Box: 3-C-70

Examiner Rm: 3-B-75

Results format: paper

Title of Invention: CYCLIC HEXAPEPTIDES HAVING ANTIBIOTIC ACTIVITY

Applicants: TOJO, TAKASHI; OHKI, HIDENORI; SHIRAISHI, NOBUYUKI;
MATSUYA, TAKAHIRO; MATSUDA, HIROSHI; MURANO, KENJI; BARRETT,
DAVID; OGINO, TAKASHI; MATSUDA, KEIJI; ICHIHARA, MASAHARU;
HASHIMOTO, NORIO; KANDA, ATSUSHI; OHIGASHI, ATSUSHI

Earliest Priority Date: 4/27/99

* * *

Applicants are claiming compounds on the attached sheet

R1 = hydrogen or -CO-R7

wherein R7 is anything

R2 = anything

R3 = anything

R4 = hydrogen or hydroxy

R5 = hydrogen or hydroxy or sulfate

R6 = hydrogen or acyl or aroyl

STAFF USE ONLY

Type of Search

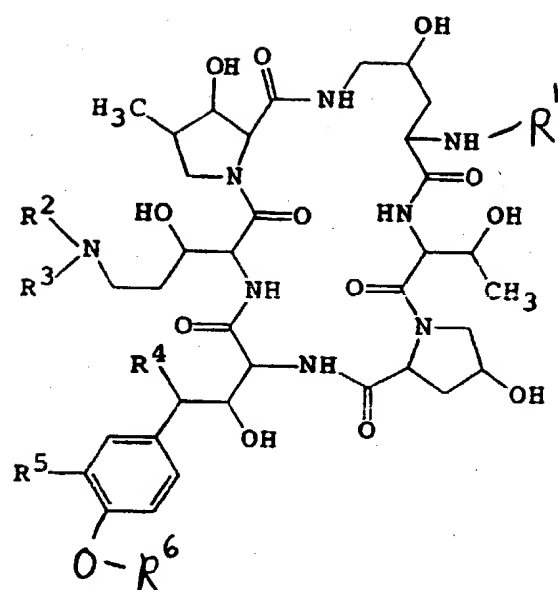
Vendors and cost where applicable

Searcher

NA Sequence (#)

STN

09/926385



=> fil hcaplus

FILE 'HCAPLUS' ENTERED AT 14:14:55 ON 04 JUN 2004

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FILE COVERS 1907 - 4 Jun 2004 VOL 140 ISS 24

FILE LAST UPDATED: 3 Jun 2004 (20040603/ED)

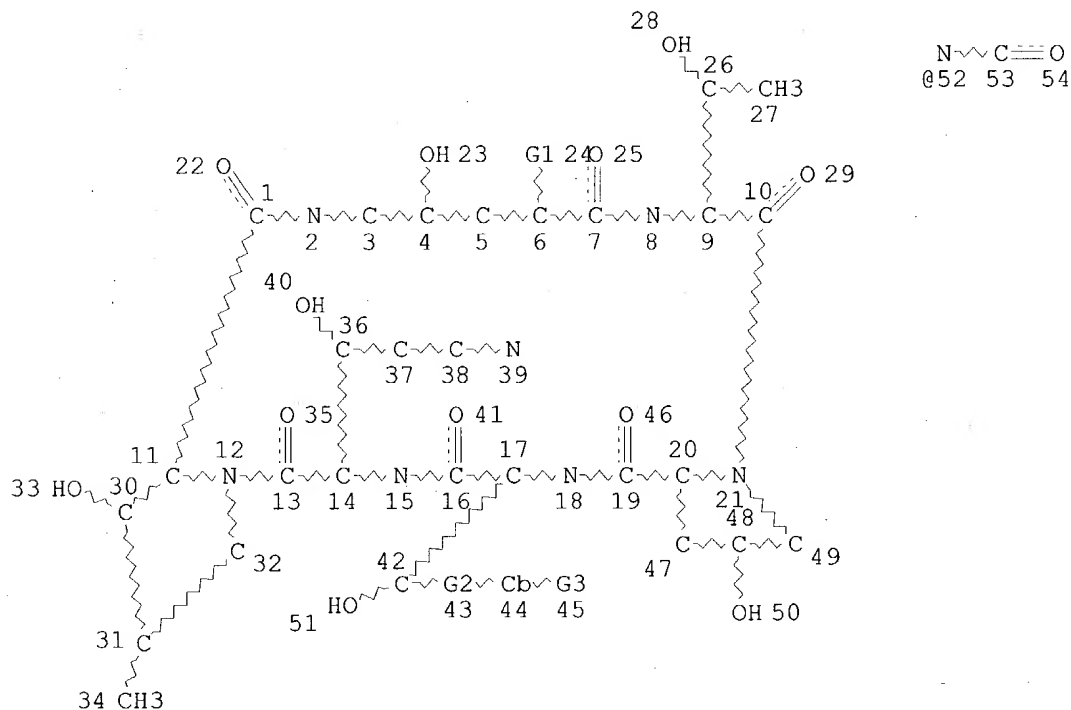
This file contains CAS Registry Numbers for easy and accurate substance identification.

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L1 STR



Page 1-A

CH~OH O~C O~Cy
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Page 2-A

VAR G1=NH2/52

VAR G2=CH2/55

VAR G3=OH/57/59

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DEFAULT ECLEVEL IS LIMITED

ECOUNT IS UNLIMITED AT 30 31 32 47 48 49

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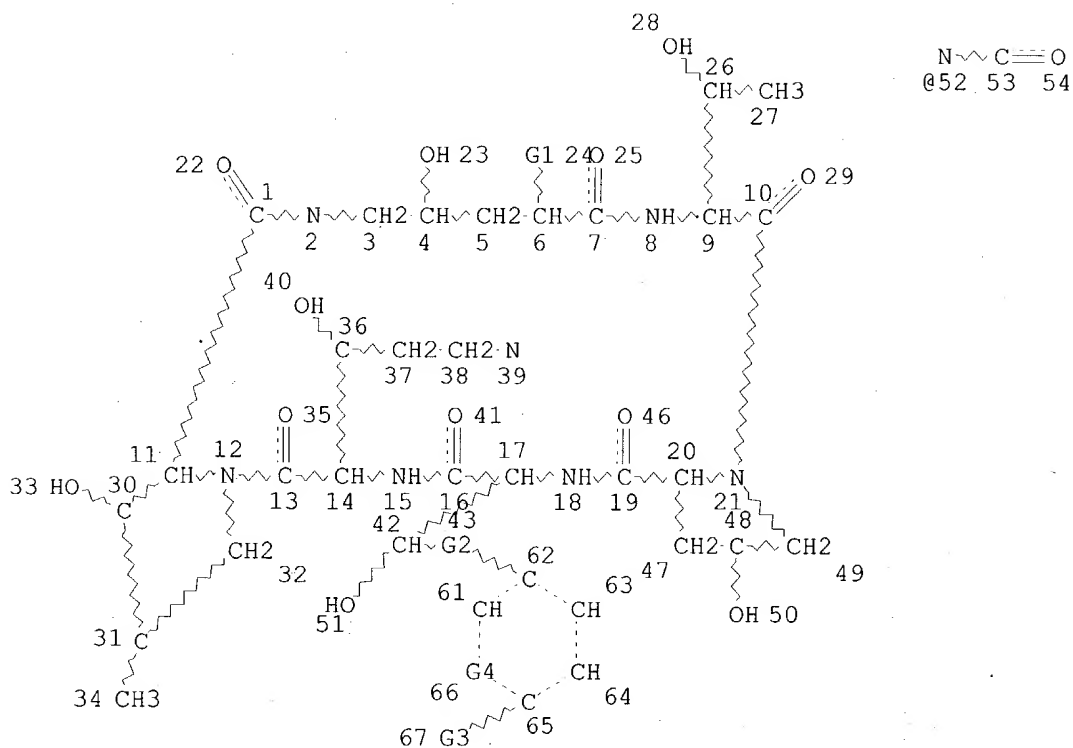
RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 60

STEREO ATTRIBUTES: NONE

L3 1370 SEA FILE=REGISTRY SSS FUL L1

L5 STR



Page 1-A

CH~OH
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@57 58O~Cy
@59 60C~G5
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Page 2-A

VAR G1=NH2/52

VAR G2=CH2/55

VAR G3=OH/57/59

VAR G4=CH/68

VAR G5=OH/S

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM
 MLEVEL IS CLASS AT 30 31 48
 DEFAULT ECLEVEL IS LIMITED
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GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED
 NUMBER OF NODES IS 67

STEREO ATTRIBUTES: NONE

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 L8 3 SEA FILE=HCAPLUS ABB=ON PLU=ON L7

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=> d ibib abs hitrn 18 1-3

L8 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:656795 HCAPLUS

DOCUMENT NUMBER: 139:197770

TITLE: Preparation of lipopeptides having antimicrobial activity

INVENTOR(S): Mizuno, Hiroaki; Matsuda, Hiroshi; Toda, Ayako;
 Matsuya, Takahiro; Barrett, David; Matsuda, Keiji

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 270 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2003068807	A3	20040415		
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PRIORITY APPLN. INFO.: AU 2002-441 A 20020211

OTHER SOURCE(S): MARPAT 139:197770

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to new lipopeptides I [R1 = H, acyl; R2 = carbamoyl, (protected) aminoalkyl or guanidinoalkyl, hydroxy-substituted alkylaminoalkyl; R3 = H, OH; R4 = aminoalkyl, alkylcarbamoylalkyl, carboxyalkyl, etc.; R5 = OH or protected hydroxy] or their salts which

have antimicrobial activities (esp. antifungal activity) and inhibitory activity on .beta.-1,3-glucan synthase and to a process for their synthesis. Pharmaceutical compns. contg. I are used for prophylactic and/or therapeutic treatment of infectious diseases in a human being or an animal. Thus, cyclic peptide II.2HCl [R = p-[4-[(4-methoxybutoxy)methyl]-1-piperidinyl]phenyl] was prepd. by N-acylation of I (R1 = H) and showed MIC < 0.2 .mu.g/mL against Candida albicans.

IT 583054-48-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of lipopeptides having antimicrobial activity)

L8 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:618024 HCAPLUS

DOCUMENT NUMBER: 135:180954

TITLE: Synthesis of cyclic hexapeptide derivatives for use as antimicrobial or antifungal agents in humans or animals

INVENTOR(S): Toda, Ayako; Matsuya, Takahiro; Mizuno, Hiroaki; Matsuda, Hiroshi; Murano, Kenji; Barrett, David; Ogino, Takashi; Matsuda, Keiji

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 207 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

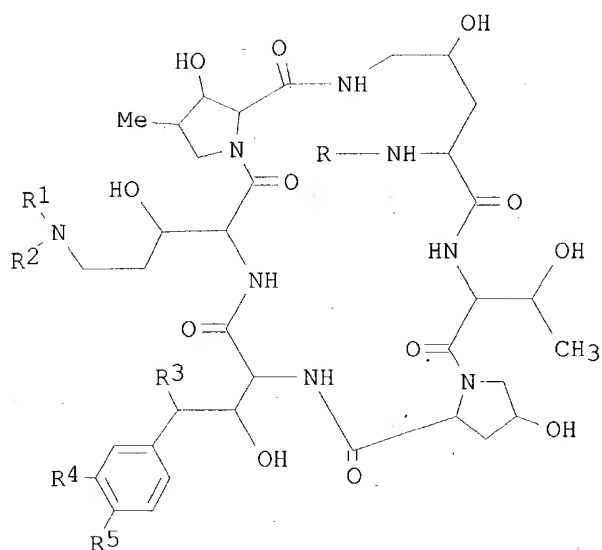
FAMILY ACC. NUM. COUNT: 2

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WO 2001060846	A1	20010823	WO 2001-JP1204	20010220
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RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
AU 2001034095	A5	20010827	AU 2001-34095	20010220
EP 1259535	A1	20021127	EP 2001-906140	20010220
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
BR 2001008792	A	20021203	BR 2001-8792	20010220
JP 2003523349	T2	20030805	JP 2001-560230	20010220
RU 2224765	C1	20040227	RU 2002-125463	20010220
NZ 520808	A	20040326	NZ 2001-520808	20010220
US 2003083238	A1	20030501	US 2002-30161	20020130
NO 2002003697	A	20021014	NO 2002-3697	20020806
PRIORITY APPLN. INFO.:			AU 2000-5752	A 20000221
			AU 2000-9552	A 20000821
			AU 2000-2344	A 20001228
			WO 2001-JP1204	W 20010220

OTHER SOURCE(S): MARPAT 135:180954

GI



AB Cyclic polypeptides [(I); R, R1 (independently) = H, acyl; R2 = hydroxyalkyl; R3 = H, OH; R4 = H, OH, alkoxy, HO3SO-; R5 = OH, acyloxy], useful as antimicrobial or antifungal agents, or as .beta.-1,3-glucan synthase inhibitors (no data), for use in prophylactic and/or therapeutic treatment of infectious diseases in humans or animals, were prepd. A variety of substituted acyl R groups were prepd. and coupled with the cyclopeptide. Thus, I [R = 4-[2-[4-[4-[5-methoxypentyloxy]piperidin-1-yl]phenyl]imidazo[2,1-b][1,3,4]thiadiazol-6-yl]phenylcarbonyl; R1, R3 = H; R2 = CH(CH2OH)2; R4 = HO3SO; R5 = OH (II)] was prepd. in four steps from the starting protected cyclic peptide sodium salt and activated ester of substituted benzoic acid (prepn. given). In in vitro tests of antimicrobial activity in mouse serum against *Candida albicans* FP-633, II had MIC <0.3 .mu.g/mL.

IT 355381-11-2P 355381-12-3P 355381-29-2P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of cyclic hexapeptide derivs. for use as antimicrobial or antifungal agents in humans or animals)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:772658 HCAPLUS

DOCUMENT NUMBER: 133:335462

TITLE: Preparation of cyclic hexapeptides having antibiotic activity

INVENTOR(S): Tojo, Takashi; Ohki, Hidenori; Shiraishi, Nobuyuki; Matsuya, Takahiro; Matsuda, Hiroshi; Murano, Kenji; Barrett, David; Ogino, Takashi; Matsuda, Keiji; Ichihara, Masaharu; Hashimoto, Norio; Kanda, Atsushi; Ohigashi, Atsushi

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 449 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000064927	A1	20001102	WO 2000-JP2710	20000425
W: JP, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 1173472	A1	20020123	EP 2000-917469	20000425
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2003501347	T2	20030114	JP 2000-614276	20000425
PRIORITY APPLN. INFO.:			AU 1999-9997	A 19990427
			WO 2000-JP2710	W 20000425
OTHER SOURCE(S):		MARPAT 133:335462		
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Cyclic hexapeptides I [R1 = H, acyl; R2, R3 = H, cyano, (un)substituted alkyl, acyl, heterocyclyl, alkylidenyl; R4 = H, OH; R5 = H, OH, alkoxy, hydroxysulfonyloxy; R6 = OH, acyloxy] or their salts were prepd. for use as antimicrobials, esp. fungicides. Thus, cyclic peptide II [R1 = p-[5-[4'-(2-methoxyethoxy)[1,1'-biphenyl]-4-yl]thiazol-2-yl]benzoyl], prepd. via N-acylation reaction, showed MIC <0.3 .mu.g/mL for inhibition of Candida albicans.

IT 303978-76-9P 303979-29-5P 303979-30-8P
 303979-31-9P 303979-32-0P 303979-33-1P
 303979-34-2P 303979-35-3P 303979-37-5P
 303979-38-6P 303979-39-7P 303979-40-0P
 303979-41-1P 303979-43-3P 304656-24-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of cyclic hexapeptides having antibiotic activity)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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STRUCTURE FILE UPDATES: 3 JUN 2004 HIGHEST RN 689216-09-9
 DICTIONARY FILE UPDATES: 3 JUN 2004 HIGHEST RN 689216-09-9

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

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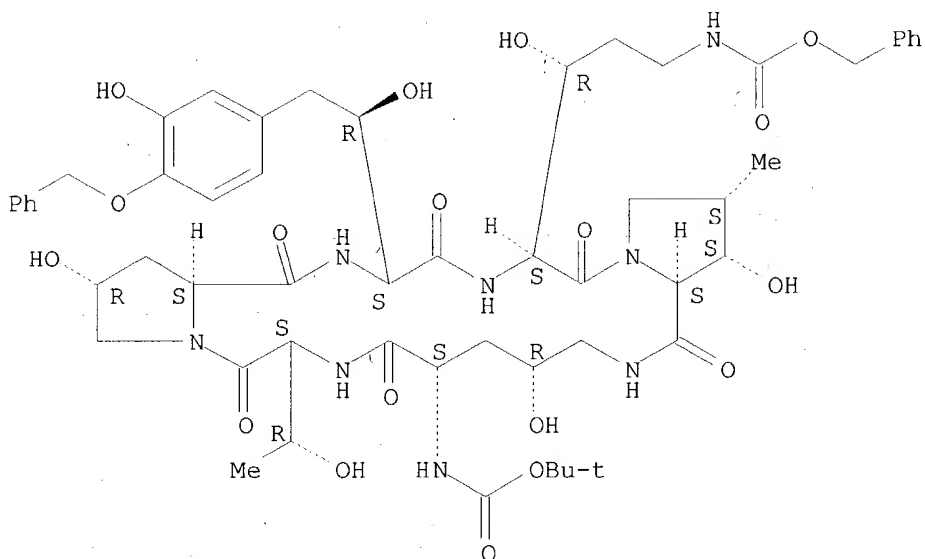
Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
<http://www.cas.org/ONLINE/DBSS/registryss.html>

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L7 ANSWER 1 OF 20 REGISTRY COPYRIGHT 2004 ACS on STN
 RN 583054-48-2 REGISTRY
 CN Echinocandin C, 1-[(4R)-N2-[(1,1-dimethylethoxy)carbonyl]-4-hydroxy-L-ornithine]-4-[4-[3-hydroxy-4-(phenylmethoxy)phenyl]-L-threonine]-5-[(3R)-3-hydroxy-N5-[(phenylmethoxy)carbonyl]-L-ornithine]- (9CI) (CA INDEX NAME)
 FS PROTEIN SEQUENCE; STEREOSEARCH
 MF C55 H74 N8 O18
 SR CA
 LC STN Files: CA, CAPLUS
 DT.CA Caplus document type: Patent
 RL.P Roles from patents: PREP (Preparation); RACT (Reactant or reagent)

RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

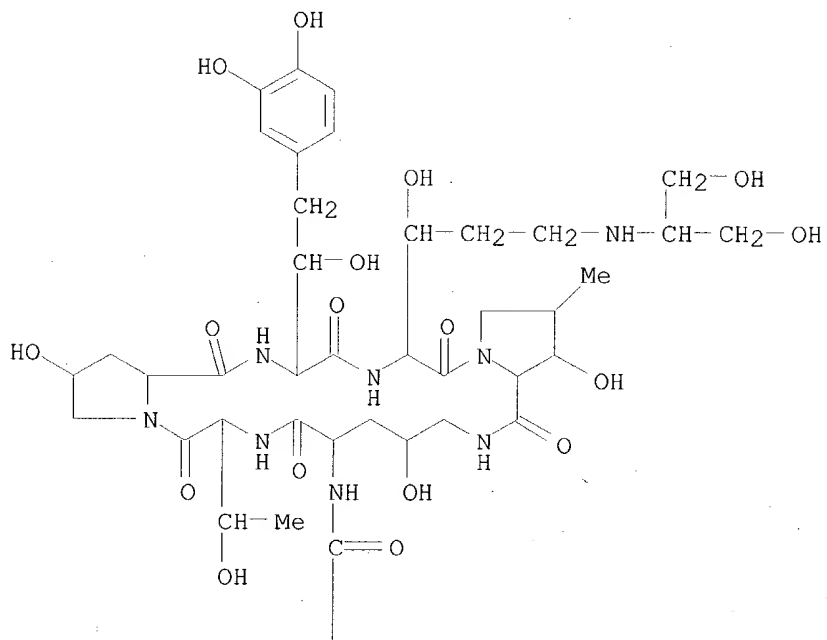
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 RN 355381-29-2 REGISTRY
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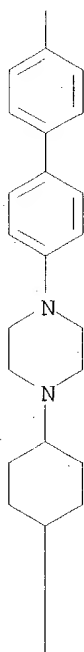
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

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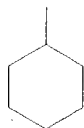
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● 3 HCl

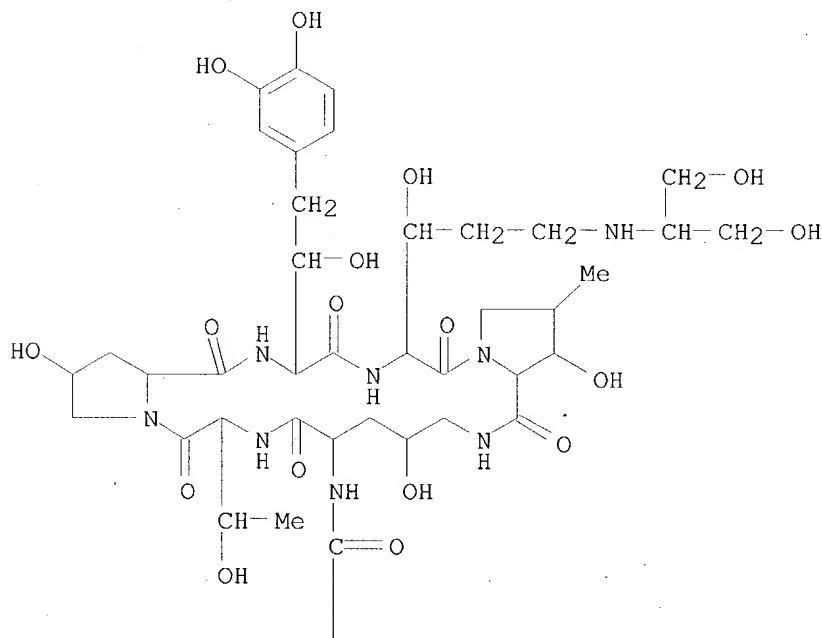
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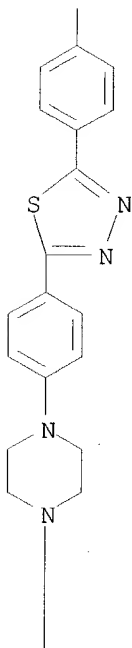
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 RN 355381-12-3 REGISTRY
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 FS PROTEIN SEQUENCE; STEREOSEARCH
 MF C64 H88 N12 O17 S
 SR CA
 LC STN Files: CA, CAPLUS, USPATFULL
 DT.CA Caplus document type: Patent
 RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

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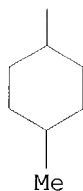
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PAGE 2-A



PAGE 3-A



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 135:180954

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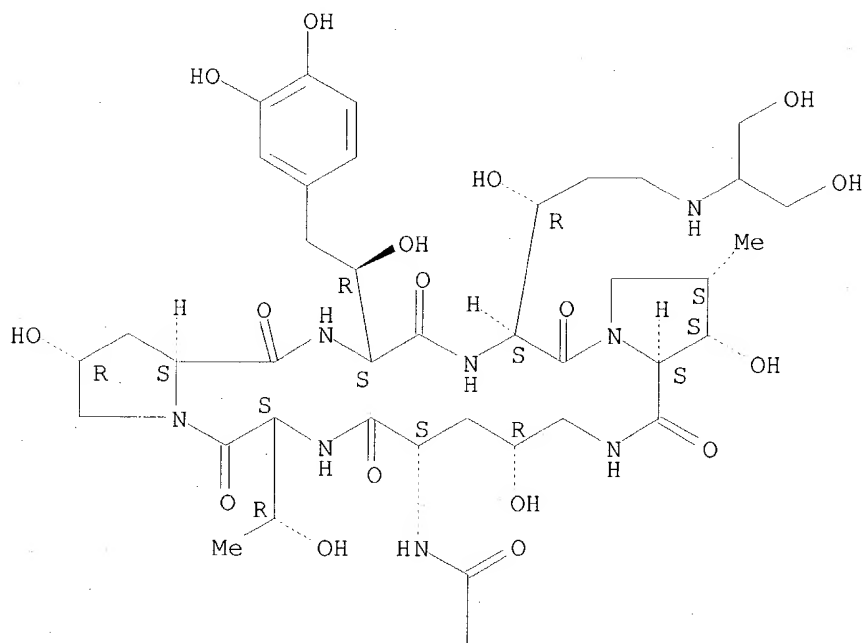
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RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses).

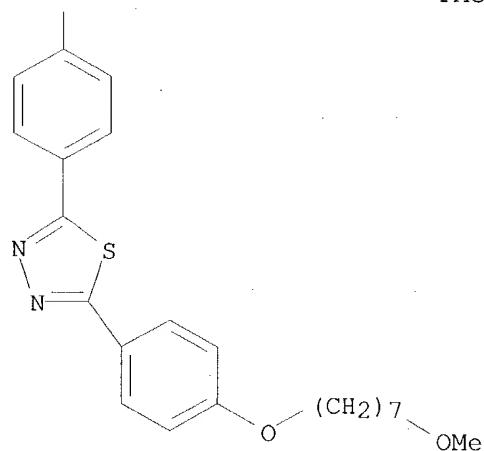
RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A



● HCl

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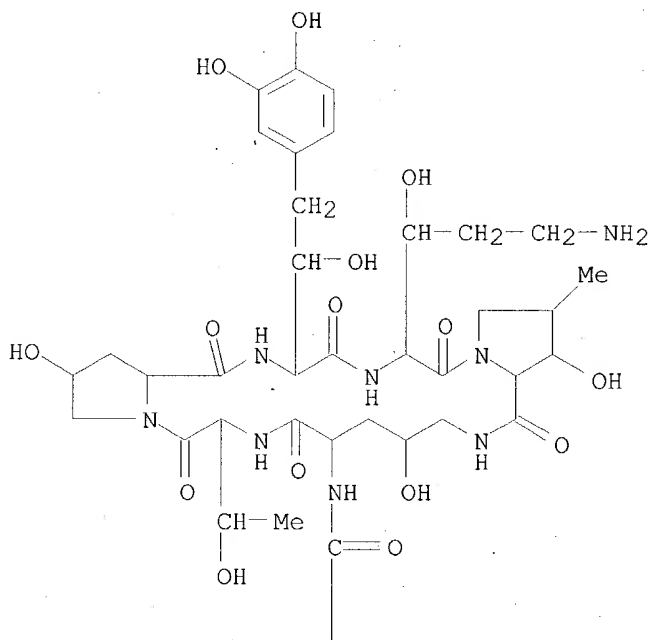
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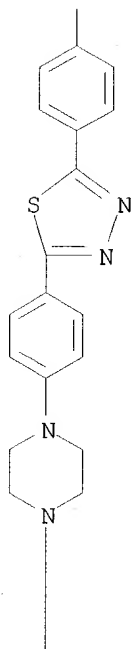
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 RN 304656-24-4 REGISTRY
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 FS PROTEIN SEQUENCE; STEREOSEARCH
 MF C61 H82 N12 O15 S . 3 Cl H
 SR CA
 LC STN Files: CA, CAPLUS
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 RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

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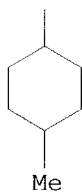
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PAGE 2-A



PAGE 3-A



● 3 HCl

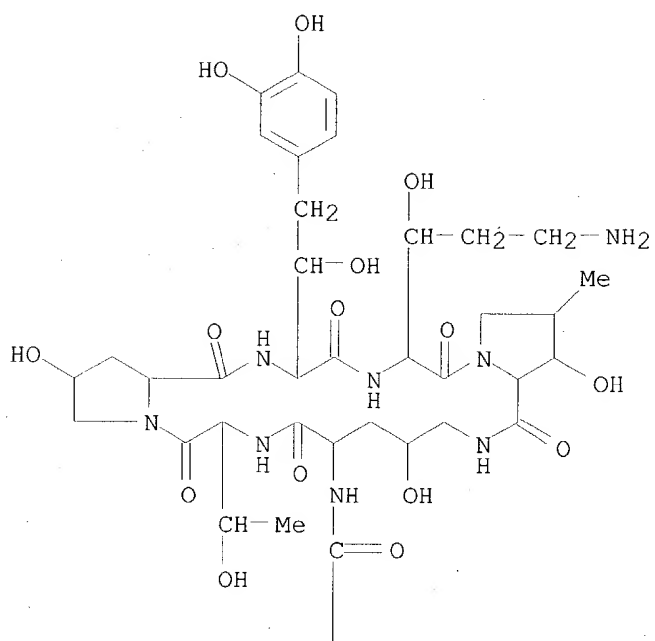
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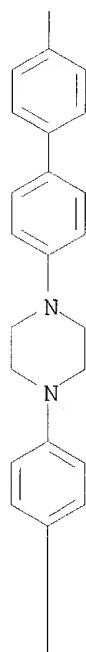
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 (CA INDEX NAME)
 FS PROTEIN SEQUENCE; STEREOSEARCH
 MF C64 H85 N11 O16
 SR CA
 LC STN Files: CA, CAPLUS
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 RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

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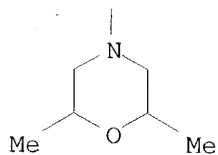
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PAGE 3-A



1 REFERENCES IN FILE CA (1907 TO DATE)
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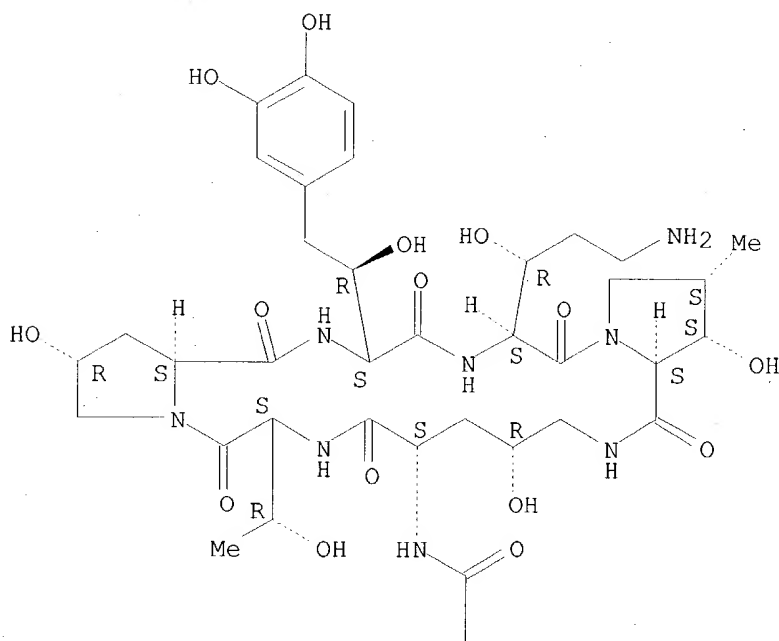
REFERENCE 1: 133:335462

L7 ANSWER 7 OF 20 REGISTRY COPYRIGHT 2004 ACS on STN
RN 303979-41-1 REGISTRY
CN Echinocandin B, 1-[(4R)-N2-[4-[4-[trans-4-(1,1-dimethylethoxy)cyclohexyl]-1-piperazinyl]benzoyl]-4-hydroxy-L-ornithine]-4-[4-(3,4-dihydroxyphenyl)-L-threonine]-5-[(3R)-3-hydroxy-L-ornithine]-, trihydrochloride (9CI) (CA INDEX NAME)
FS PROTEIN SEQUENCE; STEREOSEARCH
MF C56 H84 N10 O16 . 3 Cl H
SR CA
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DT.CA Caplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

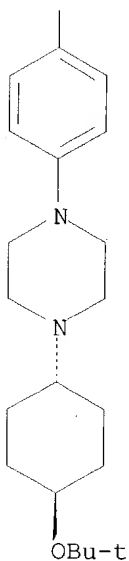
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Absolute stereochemistry.

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● 3 HCl

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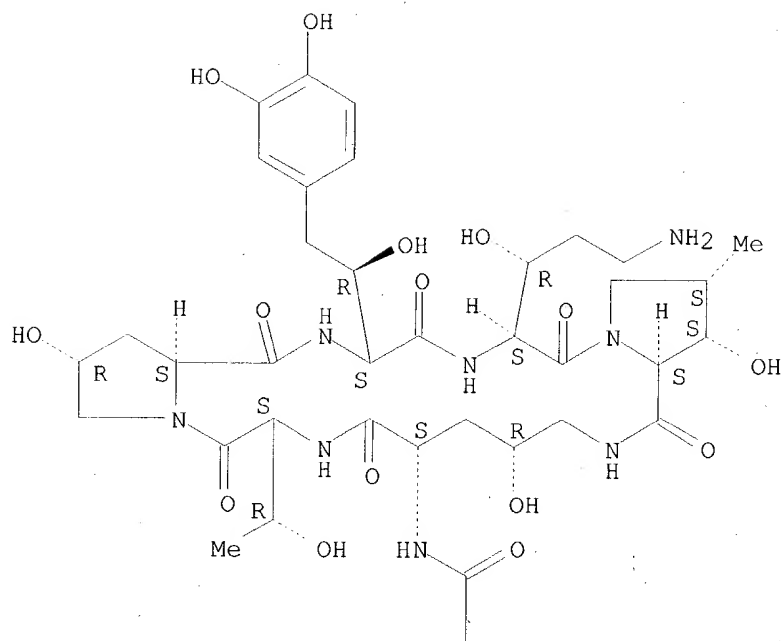
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L7 ANSWER 8 OF 20 REGISTRY COPYRIGHT 2004 ACS on STN
 RN 303979-40-0 REGISTRY
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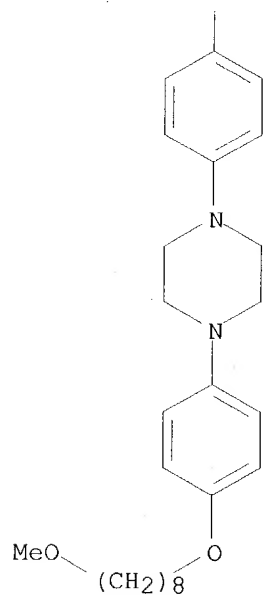
RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.

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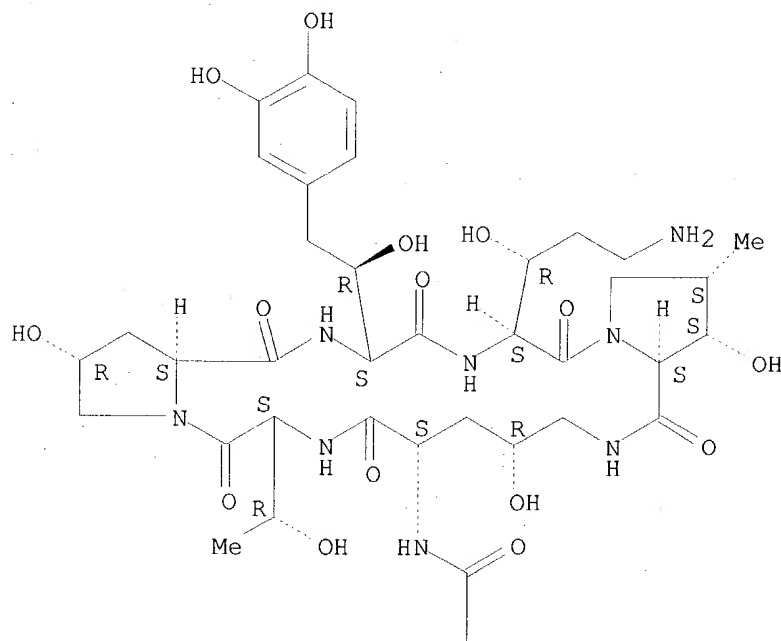
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 FS PROTEIN SEQUENCE; STEREOSEARCH
 MF C58 H80 N10 O15 . 3 Cl H
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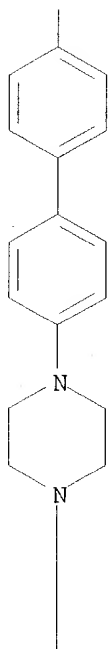
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Absolute stereochemistry.

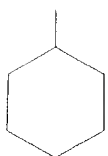
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● 3 HCl

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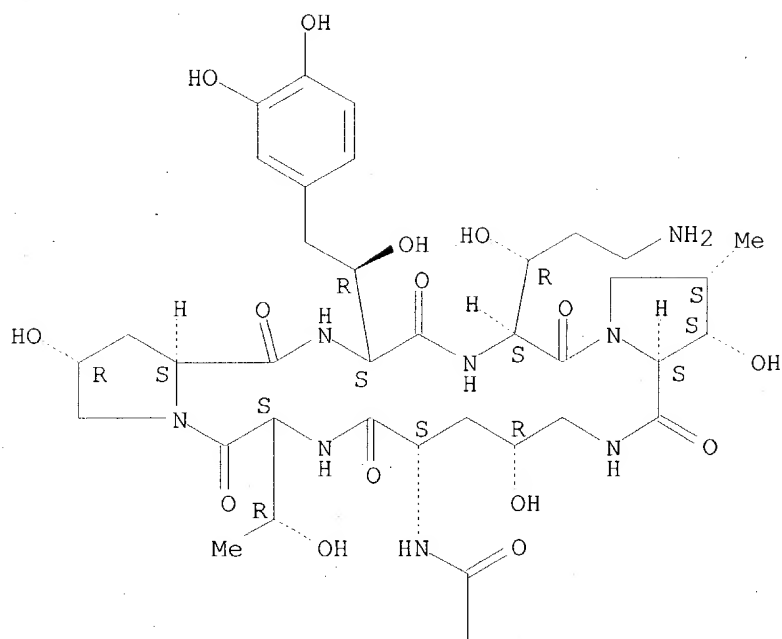
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 FS PROTEIN SEQUENCE; STEREOSEARCH
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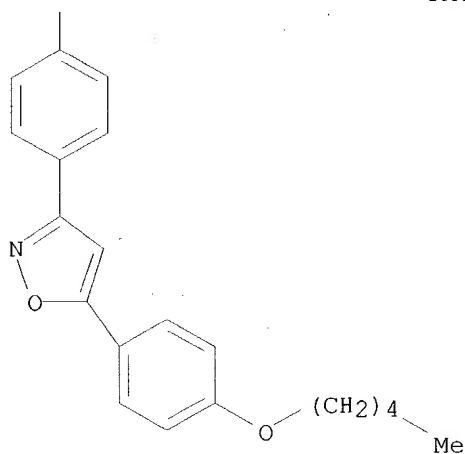
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Absolute stereochemistry.

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● HCl

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REFERENCE 1: 133:335462

L7 ANSWER 11 OF 20 REGISTRY COPYRIGHT 2004 ACS on STN
RN 303979-37-5 REGISTRY

CN Echinocandin B, 1-[(4R)-N2-[4-[5-[4-(4-cyclohexyl-1-piperazinyl)phenyl]-1,3,4-thiadiazol-2-yl]benzoyl]-4-hydroxy-L-ornithine]-4-[4-(3,4-dihydroxyphenyl)-L-threonine]-5-[(3R)-3-hydroxy-L-ornithine]-, trihydrochloride (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

MF C60 H80 N12 O15 S . 3 Cl H

SR CA

LC STN Files: CA, CAPLUS

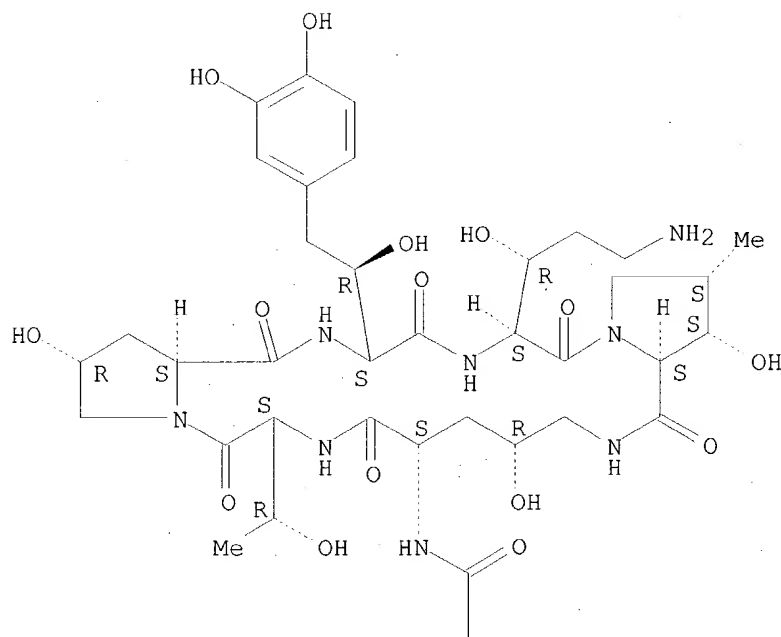
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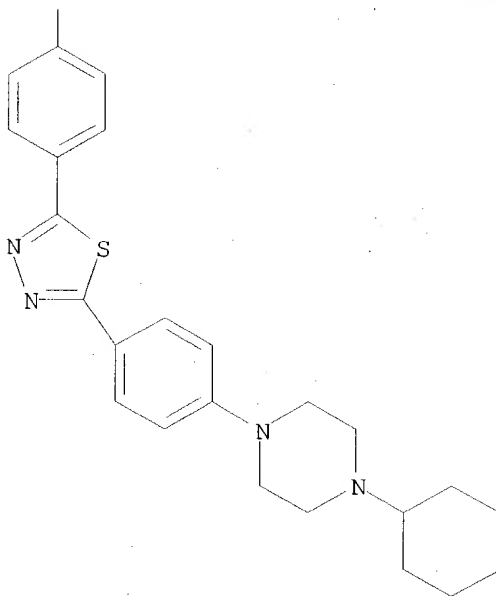
RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.

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● 3 HCl

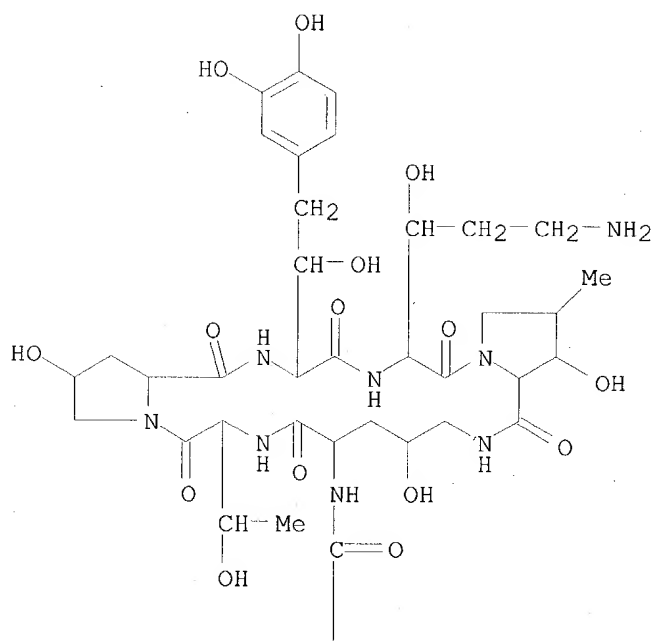
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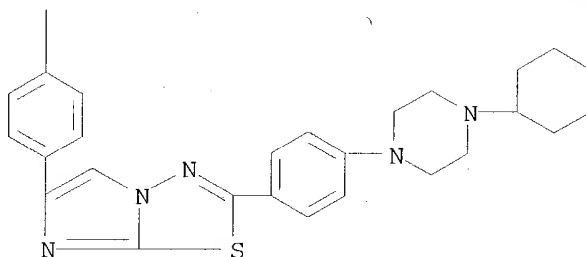
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 RN 303979-35-3 REGISTRY
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 MF C62 H81 N13 O15 S . 3 Cl H
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 LC STN Files: CA, CAPLUS
 DT.CA Caplus document type: Patent
 RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

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● 3 HCl

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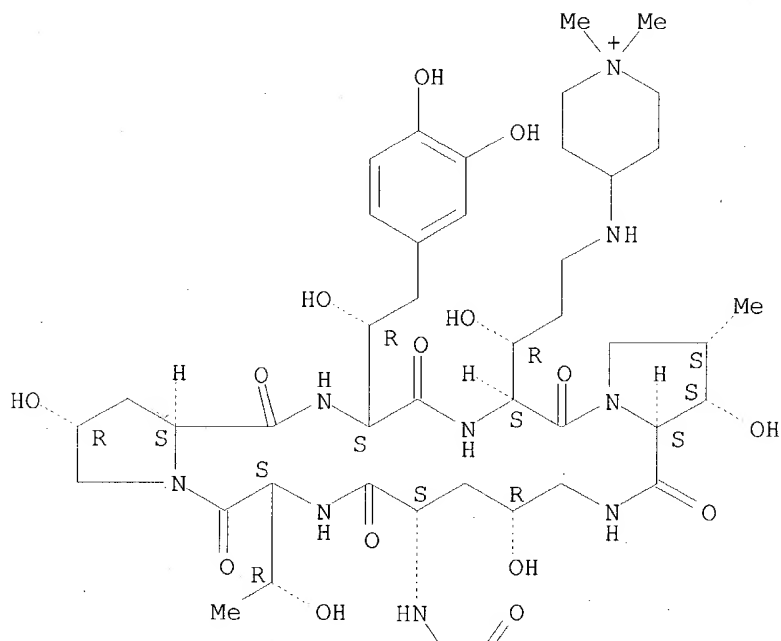
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 FS PROTEIN SEQUENCE; STEREOSEARCH
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RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

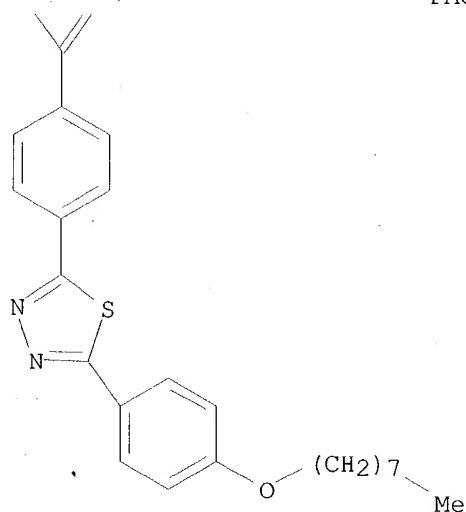
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● Cl⁻

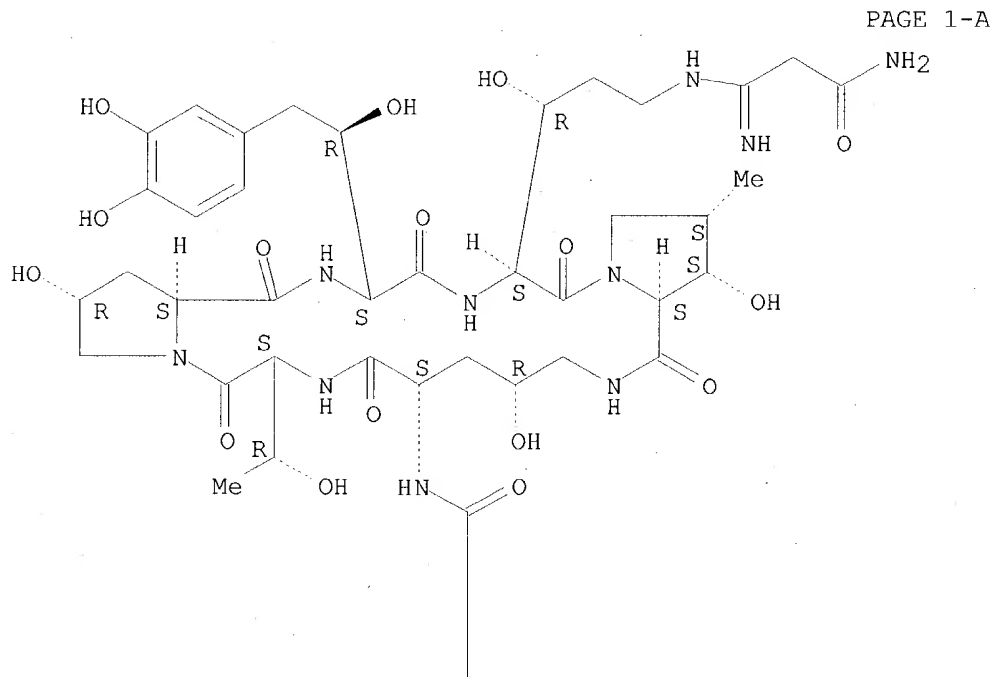
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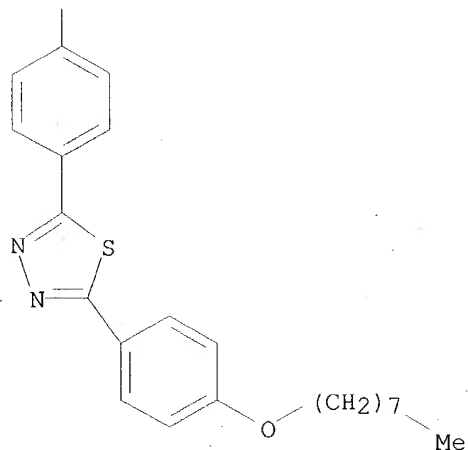
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RN 303979-33-1 REGISTRY
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FS PROTEIN SEQUENCE; STEREOSEARCH
MF C61 H82 N12 O17 S . Cl H
SR CA
LC STN Files: CA, CAPLUS
DT.CA Caplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.





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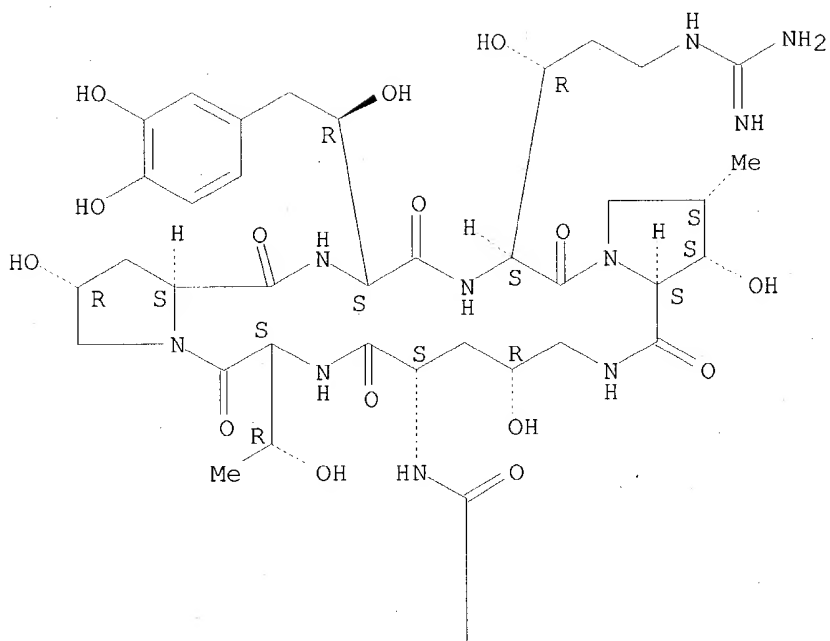
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 MF C59 H80 N12 O16 S . Cl H
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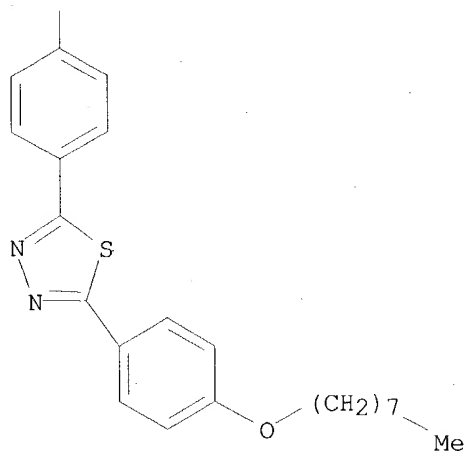
RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.

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● HCl

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REFERENCE 1: 133:335462

L7 ANSWER 16 OF 20 REGISTRY COPYRIGHT 2004 ACS on STN
 RN 303979-31-9 REGISTRY
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FS PROTEIN SEQUENCE; STEREOSEARCH

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SR CA

LC STN Files: CA, CAPLUS

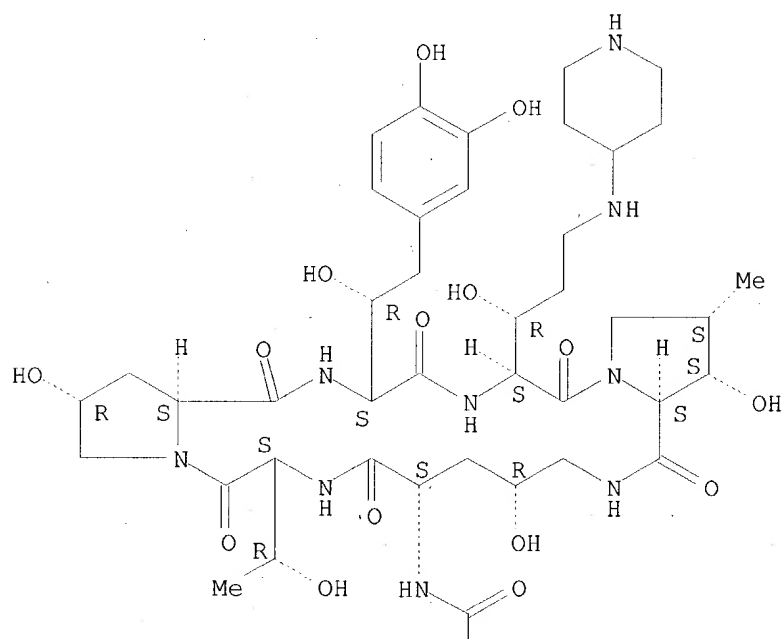
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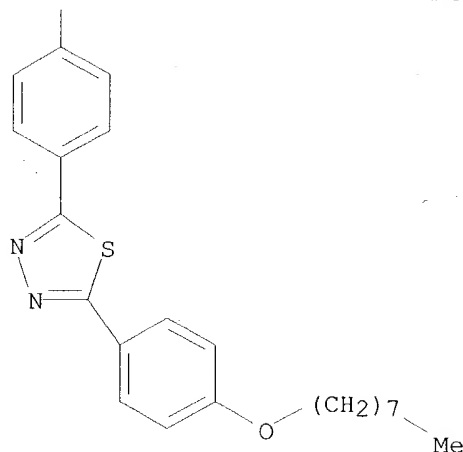
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES
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Absolute stereochemistry.

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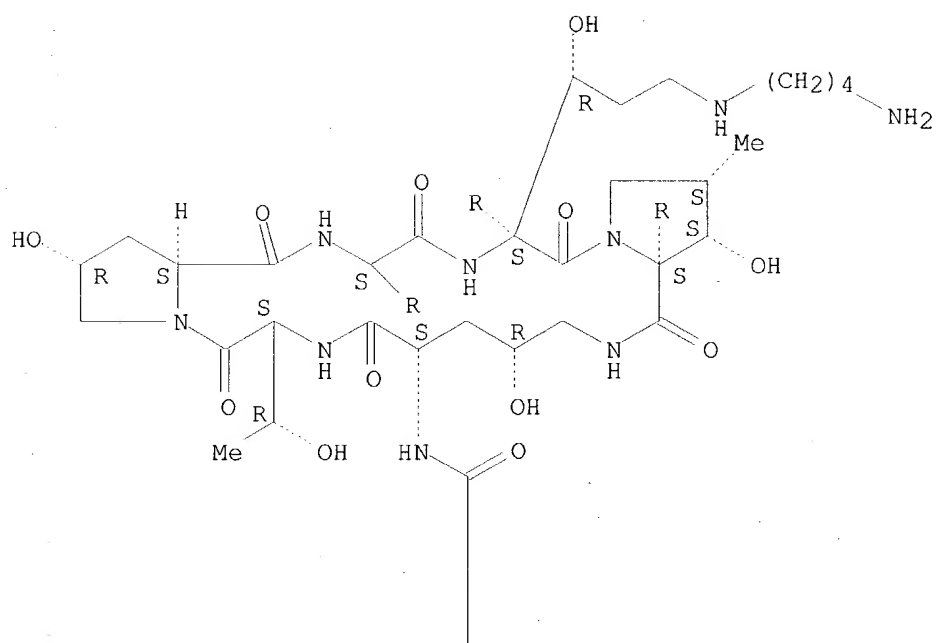
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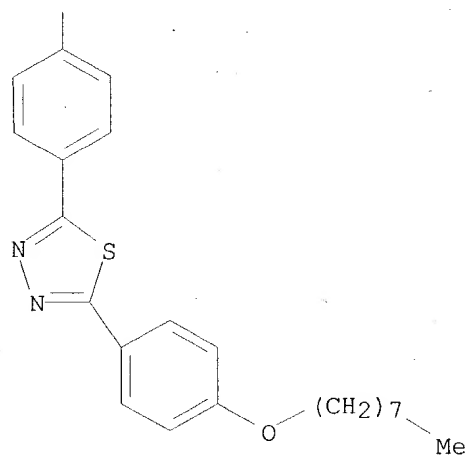
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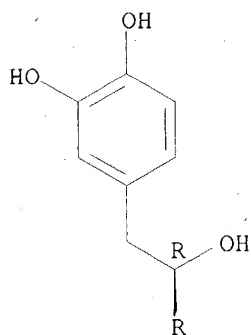
Absolute stereochemistry.

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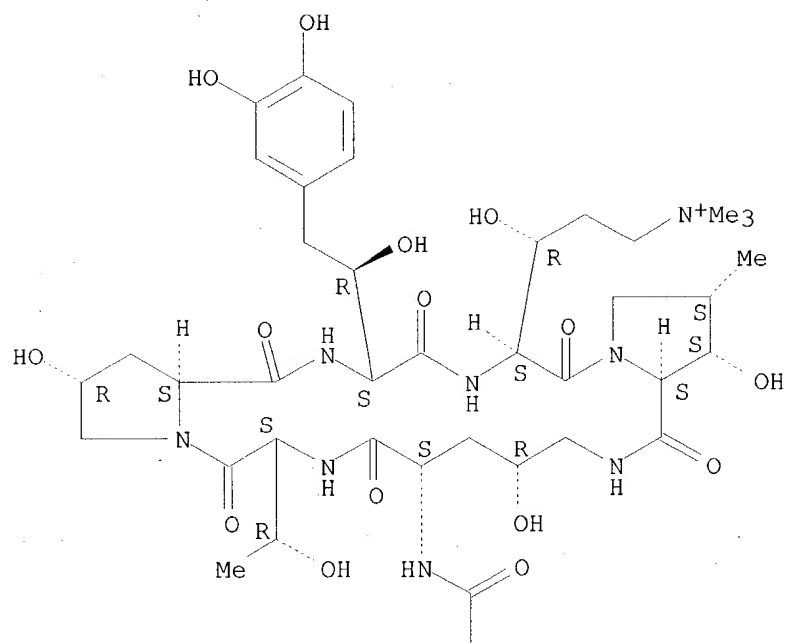
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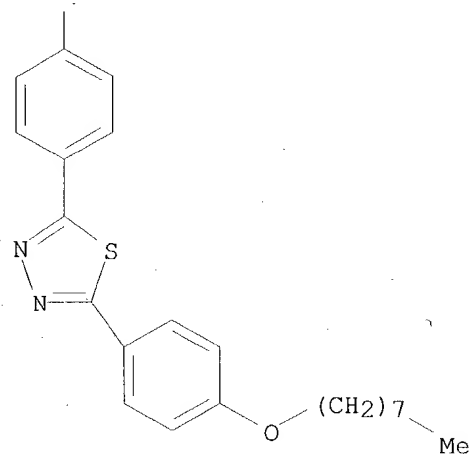
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Absolute stereochemistry.

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 RN 303978-76-9 REGISTRY
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(3,4-dihydroxyphenyl)-L-threonine]-5-[(3R)-3-hydroxy-L-ornithine]-,
mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

MF C58 H78 N10 O17 S . C2 H F3 O2

SR CA

LC STN Files: CA, CAPLUS

DT.CA Caplus document type: Patent

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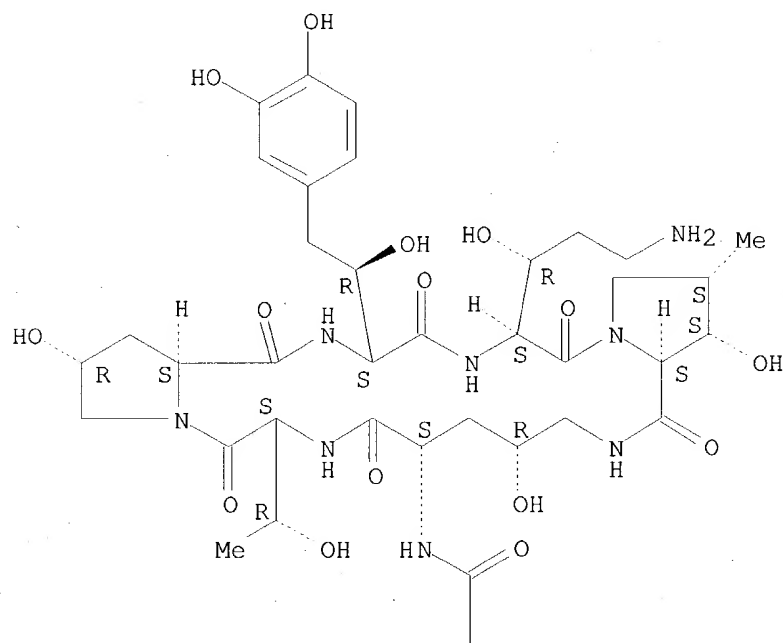
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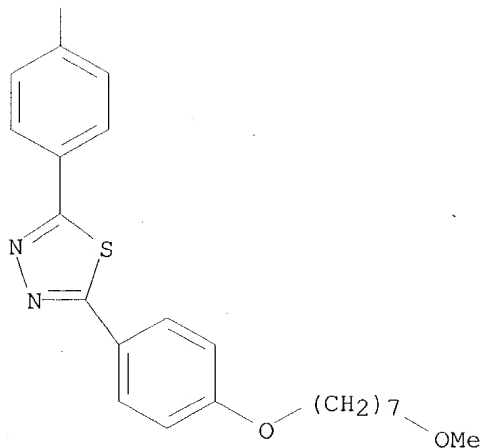
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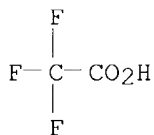




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CMF C2 H F3 O2



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RN 303978-75-8 REGISTRY

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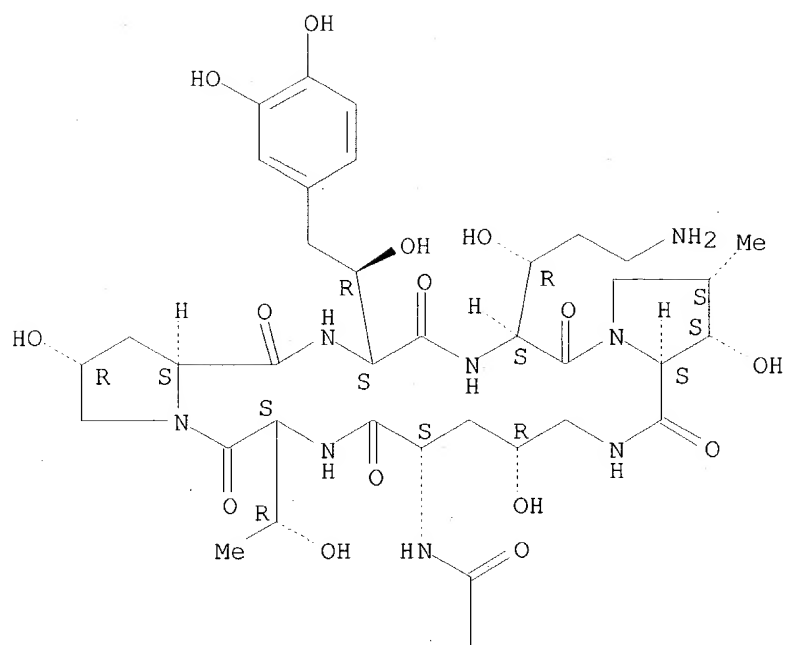
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